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A summary of the papers in this month's issue.

Solid-phase synthesis

- Aspartyl peptide aldehydes have been prepared on solid-phase by the lithium aluminium hydride reduction of the corresponding Weinreb amides (Tong and Hong, *Tetrahedron Lett.*, 2000, *41(46)*, 8857-8860).
- Symmetrical *N,N'*-linked peptides have been prepared on solid support by the olefin metathesis reaction (Conde-Frieboes *et al.*, *Tetrahedron Lett.*, 2000, *41(47)*, 9153-9156).
- Diverse quinazoline-2-thioxo-4-ones have been efficiently prepared on SynPhase™ lanterns as solid supports (Makino *et al.*, *Tetrahedron Lett.*, 2000, *41(43)*, 8333-8337).
- Various unprotected sugar molecules attached to resin have been regioselectively acylated with benzoyl chloride after *in situ* stannylene formation (Peri *et al.*, *Tetrahedron Lett.*, 2000, *41(44)*, 8587-8590).
- An array of 1,3,4-substituted β-lactams have been synthesised in a stereoselective fashion using a polymer-supported chiral oxazolidine aldehyde (Gordon *et al.*, *Tetrahedron Lett.*, 2000, *41(44)*, 8621-8625).
- A convenient method for the conversion of benzyl carbamates to amides has been described and the process has been applied to the Merrifield resin-supported synthesis of amides and esters (Li *et al.*, Tetrahedron, 2000, 56(45), 8867-8875).

Novel resins and linkers

- Hydroxy acids have been efficiently macrolactonised using a polymer bound carbodiimide reagent as a replacement for dicyclohexylcarbodiimide (Keck *et al.*, *Tetrahedron Lett.*, 2000, *41(45)*, 8673-8676).
- A new polymeric scavenger resin, acetoacetoxy ethyl methacrylate (AAEM), has been used to selectively remove primary amines in the presence of secondary amines, and has been used in a library synthesis (Yu *et al.*, *Tetrahedron Lett.*, 2000, *41(46)*, 8963-8967).
- New phenylfluorenyl based linkers, cleaved under acidic conditions to generate carboxylic acids or amines, have been developed for solid-phase synthesis (Bleicher *et al.*, *Tetrahedron Lett.*, 2000, *41(47)*, 9037-9042).
- A photolabile linker based on a thiohydroxamic acid has been employed as an efficient 'traceless' solid-phase linker (Horton *et al.*, *Tetrahedron Lett.*, 2000, *41(47)*, 9181-9184).
- Merrifield resin has been used to generate a novel resin-bound chlorostannane used in the synthesis of functionalised organostannanes (Zhu *et al.*, *Tetrahedron Lett.*, 2000, *41(48)*, 9219-9222).
- An improved synthesis of (4-ethenylphenyl)diphenyl methanol has led to the preparation of trityl functionalised polystyrene resin containing a flexible tetrahydrofuran derived cross-linker (Manzotti *et al.*, *Tetrahedron Lett.*, 2000, *41(44)*, 8417-8420).
- Polymer supported linked BINOL has been synthesised and used as an asymmetric multifunctional catalyst for Michael reactions (Matsunaga *et al.*, *Tetrahedron Lett.*, 2000, *41(44)*, 8473-8478).

- A new analytical construct based on a thiopyrimidine safety-catch linker allows facile monitoring of solid supported reactions (Lorthioir *et al*., *Tetrahedron Lett.*, 2000, *41(44)*, 8609-8613).
- The available number of amine sites on a polymer bead have been multiplied by a simple modification with lysine *N*-carboxyanhydride (Edwards *et al*., *Tetrahedron Lett.*, 2000, *41(44)*, 8615-8619). Functionalisation of the product with a phosphine allows use in catalytic reactions.

Solution-phase synthesis

- Tetrazole-ketopiperazine libraries with three points of diversity have been prepared in solution using the TMS azide modified Ugi 4-component condensation reaction (Nixey *et al*., *Tetrahedron Lett.*, 2000, *41(45)*, 8729-8733).

Library applications

- The robot-assisted solid-phase synthesis of cyclic sugar amino acid/amino acid hybrids has been used in a search for new potential host molecules (van Well *et al*., *Tetrahedron Lett.*, 2000, *41(48)*, 9331-9335).
- A library of 8800 tripeptide amidomethylcoumarins have been synthesised on solid-phase and used in a high throughput fluorometric assay against several serine and cysteine proteases (Sheppeck *et al*., *Bioorg. Med. Chem. Lett.*, 2000, *10(23)*, 2639-2642).
- A flexible parallel synthesis of spiperone analogues has been described and the products screened at both 5-HT_{2A} and D_2 receptors revealing 5-HT_{2A} antagonists (Hansen *et al*., *Bioorg. Med. Chem. Lett.*, 2000, *10(21)*, 2435-2439).
- The parallel synthesis of *N*-functionalised isatin analogues on solid support has been reported and the products screened against a range of serine proteases (Shuttleworth *et al*., *Bioorg. Med. Chem. Lett.*, 2000, *10(22)*, 2501-2504).
- A solid-phase synthetic approach to di- and tri-peptide-like hydroxamic acids has been described and these have been shown to be potent inhibitors of procollagen C-proteinase (Dankwardt *et al*., *Bioorg. Med. Chem. Lett.*, 2000, *10(22)*, 2513-2516).